



上海源叶生物科技有限公司  
Shanghai yuanye Bio-Technology Co., Ltd  
电话: 021-61312973 传真: 021-55068248  
网址: [www.shyuanye.com](http://www.shyuanye.com)  
邮箱: [shyysw@sina.com](mailto:shyysw@sina.com)

产品名称: **AZD7687**  
产品别名: **AZD7687**

生物活性:					
Description	AZD7687 is a potent and selective DGAT1 inhibitor with an IC50 value of 80 nM (hDGAT1). IC50 value: 80 nM [1] Target: DGAT1 in vitro: Plasma AZD7687 exposure was measured repeatedly. Postprandial serum TAG excursion was measured during 8 h after a standardized mixed meal with fat energy content of 60% (SMM 60%; five cohorts, 1-20 mg), before (baseline) and after dosing, to assess effects on gut DGAT1 activity. AZD7687 markedly reduced postprandial TAG excursion with a steep concentration-effect relationship [2]. in vivo: Multiple doses of AZD7687 (1, 2.5, 5, 10 and 20 mg/day, n=6 or n=12 for each) or placebo (n=20) were administered for 1 week. Dose-dependent reductions in postprandial serum TAG were demonstrated with AZD7687 doses ≥5mg compared with placebo (p<0.01). Significant (p<0.001) increases in plasma GLP-1 and PYY levels were seen at these doses, but no clear effect on gastric emptying was demonstrated at the end of treatment. With AZD7687 doses >5 mg/day, gastrointestinal (GI) side effects increased; 11/18 of these participants discontinued treatment owing to diarrhoea [3].				
	<b>In Vitro:</b> <b>DMSO : ≥ 50 mg/mL (136.08 mM)</b> <small>* "≥" means soluble, but saturation unknown.</small>				
Solvent&Solubility	<div>Preparing Stock Solutions</div>	<div>Solvent / Mass / Concentration</div>	1 mg	5 mg	10 mg
		1 mM	2.7215 mL	13.6077 mL	27.2153 mL
		5 mM	0.5443 mL	2.7215 mL	5.4431 mL
		10 mM	0.2722 mL	1.3608 mL	2.7215 mL
	<p><b>*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。</b></p> <p>储备液的保存方式和期限: -80℃, 6 months; -20℃, 1 month。 -80℃ 储存时，请在 6 个月内使用，-20℃ 储存时，请在 1 个月内使用。</p> <p><b>In Vivo:</b></p> <p>请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：</p> <p>——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶</p> <p>1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline</p> <p>Solubility: 2.5 mg/mL (6.80 mM); Suspended solution; Need ultrasonic and warming</p> <p>此方案可获得 2.5 mg/mL (6.80 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。</p> <p>以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。</p> <p>2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)</p> <p>Solubility: ≥ 2.5 mg/mL (6.80 mM); Clear solution</p>				



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	<p>此方案可获得 <math>\geq 2.5</math> mg/mL (6.80 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 20% 的 SBE-<math>\beta</math>-CD 生理盐水水溶液中, 混合均匀。</p>
<b>References</b>	<p>[1]. Barlind JG, et al. Design and optimization of pyrazinecarboxamide-based inhibitors of diacylglycerol acyltransferase 1 (DGAT1) leading to a clinical candidate dimethylpyrazinecarboxamide phenylcyclohexylacetic acid (AZD7687). J Med Chem. 2012 Dec 13;55(23)</p> <p>[2]. Denison H, et al. Proof of mechanism for the DGAT1 inhibitor AZD7687: results from a first-time-in-human single-dose study. Diabetes Obes Metab. 2013 Feb;15(2):136-43.</p> <p>[3]. Denison H, et al. Diacylglycerol acyltransferase 1 inhibition with AZD7687 alters lipid handling and hormone secretion in the gut with intolerable side effects: a randomized clinical trial. Diabetes Obes Metab. 2013 Oct 4.</p>

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